STN- STRUCTURE SEARCH
10/12/04

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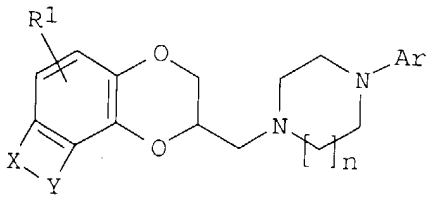
L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:589245 CAPLUS
DOCUMENT NUMBER: 141:123658
TITLE: Preparation of antidepressant arylpiperazine derivatives of heterocycle-fused benzodioxans
INVENTOR(S): Evrard, Deborah Ann; Zhou, Dahui; Stack, Gary Paul; Venkatesan, Aranapakam Madumbai; Failli, Amedeo A.; Croce, Susan Christman
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 30 pp., Cont.-in-part of U.S. Provisional Ser. No. 410,082.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2004142926 | A1 | 20040722 | US 2003-659537 | 20030910 |
| WO 2004024731 | A1 | 20040325 | WO 2003-US28453 | 20030911 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

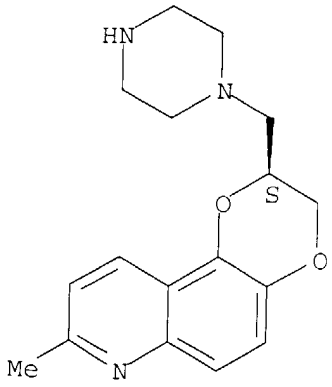
PRIORITY APPLN. INFO.:
US 2002-410082P P 20020912
US 2003-659537 A 20030910

OTHER SOURCE(S): MARPAT 141:123658
GI




I

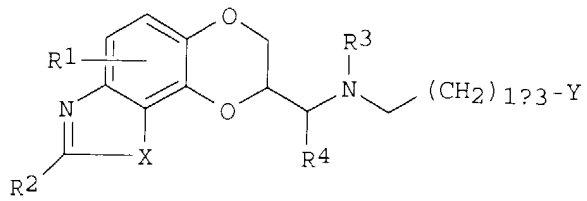
AB The title compds. [I; R1 = H, halo, CN, carboxamido, etc.; XY = N:CR2CR3:N, N:CR2CR4:CH, N:CR2N:CH, N:CR2O, NHCR5:CH; R2, R3 = H, halo, NH2, mono- or dialkylamino, alkyl; R4 = H, alkyl; R5 = H, halo, CF3, pentafluoroethyl, alkyl; Ar = (un)substituted Ph, naphthyl, indolyl, indazolyl, thienyl, etc.; n = 1-2], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual




L4 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:570504 CAPLUS
DOCUMENT NUMBER: 141:123637
TITLE: A preparation of benzodioxanylmethylamine derivatives, useful as antidepressants
INVENTOR(S): Stack, Gary Paul; Webb, Michael Byron; Evrard, Deborah Ann; Zhou, Dahui
PATENT ASSIGNEE(S): Wyeth, USA
SOURCE: U.S. Pat. Appl. Publ., 33 pp., Cont.-in-part of U.S. Provisional Ser. No. 410,347.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| US 2004138222 | A1 | 20040715 | US 2003-659238 | | 20030910 |
| US 6800637 | B2 | 20041005 | | | |
| PRIORITY APPLN. INFO.: | | | US 2002-410347P | P | 20020912 |
| OTHER SOURCE(S): | MARPAT 141:123637 | | | | |

GI



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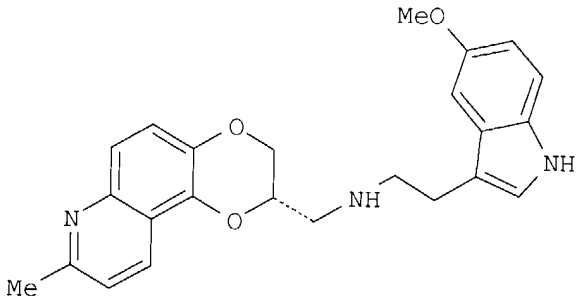


II

AB The invention relates to a preparation of benzodioxanylmethylamine derivs. of formula I [wherein: X is O, N:CH, or CH:CH, etc.; Y is a derivative of indole, benzothiophene, or benzofuran, etc.; R1 is H, OH, halogen, CN, or carboxamido, etc.; R2 is H, halogen, NH2, mono- or dialkylamino, etc.; R3 and R4 are independently H or C1-6alkyl], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as pre-menstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses. High affinity for the serotonin 5-HT1A receptor was established by testing the ability to displace [3H]8-OHDPAT (dipropylaminotetralin) from the 5-HT1A serotonin receptor. Antagonist activity at 5-HT1A receptors was established by using 35S-GTPγS binding assay. For instance, IC50 for the prepared oxalate salt of II was 88.6 nM, Ki for 5-HT transporter and receptor affinities were 31 nM and 2.03 nM, resp. (example 1).

IT **460353-98-4P 475682-43-0P 475682-45-2P 475682-46-3P 676122-82-0P**

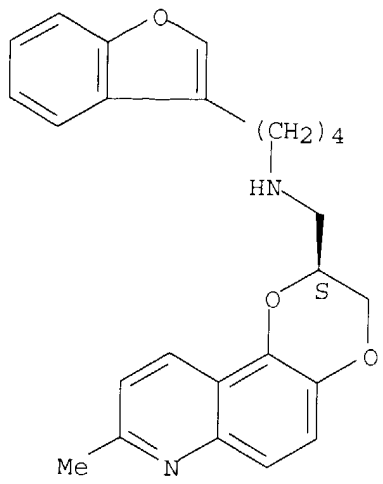
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of benzodioxanylmethylamine derivs., useful as antidepressants)

RN 460353-98-4 CAPLUS

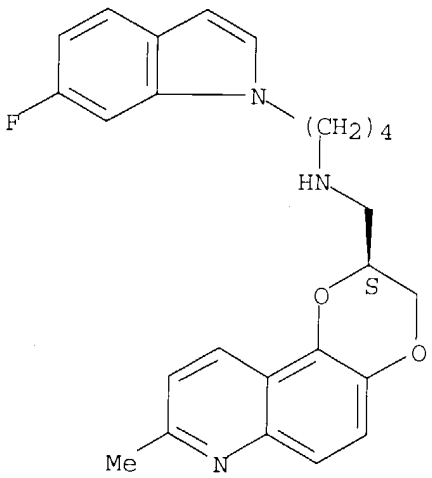
CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-, 4-methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 724464-10-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, N-[4-(6-fluoro-1H-indol-1-yl)butyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:550738 CAPLUS
DOCUMENT NUMBER: 141:89093
TITLE: Preparation of azaheterocyclylmethyl derivatives of heterocycle-fused benzodioxans as antidepressants
INVENTOR(S): Zhou, Dahui; Stack, Gary Paul
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 26 pp., Cont.-in-part of U.S. Provisional Ser. No. 410,168.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

US 2004132714 A1 20040708 US 2003-659167 20030910
WO 2004024730 A1 20040325 WO 2003-US28413 20030911
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2002-410168P P 20020912
US 2003-659167 A 20030910
OTHER SOURCE(S): MARPAT 141:89093
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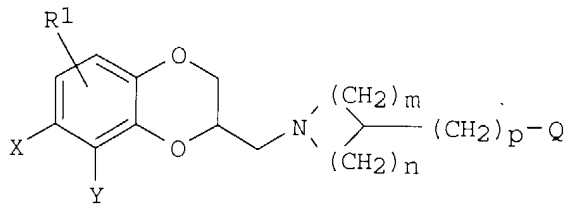

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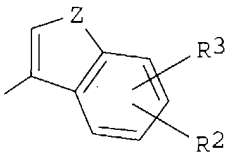
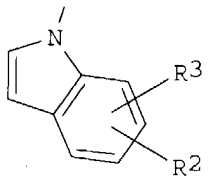
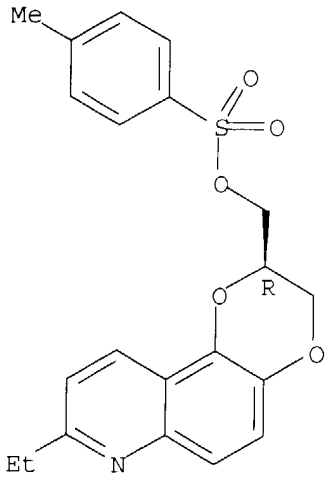
Q1=



Q2=

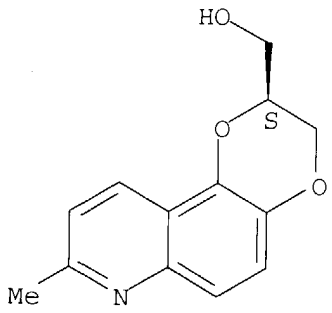


AB (azaheterocyclylmethyl)heterocycle-fused benzodioxan derivs. [Q = Q1, Q2; R1, R2, R3, X, Y = H, HO, halo, cyano, carboxamido, C2-6 carboalkoxy, CF3, C1-6 alkyl, C1-6 alkoxy, C2-6 alkanoyl, C2-6 alkanoyloxy, amino, mono- or di(C1-6 alkyl)amino, C2-6 alkanamido, C1-6 alkanesulfonyl, C1-6 alkanesulfonamido; or X and Y, taken together, form -N:C(R4)C(R5):N-, -N:C(R4)C(R6):CH-, -N:C(R4)N:CH-, -N:C(R4)O-, -NHC(R7):N- or -NHC(R8):CH-; R4, R5 = H, halo, amino, mono- or di(C1-6 alkyl)amino, C1-6 alkyl; R8 = H, C1-6 alkyl; R7 = H, halo, CF3, pentafluoroethyl, amino, mono- or di(C1-6 alkyl)amino, C1-6 alkyl; R8 = H, halo, CF3, pentafluoroethyl, C1-6 alkyl; Z = O, S, or NR9 (R9 = H, C1-6 alkyl); n = an integer 0, 1, or 2; m = an integer from 1 to 4, provided that m+n≤4 and that when m = n = 2, and Q is Q2 then X and Y are not NH-C(R8):CH-; p = an integer from 1 to 3, provided that p+n = 2 or 3] or pharmaceutically acceptable salts thereof are prepared These compds. inhibit serotonin reuptake and are antagonists of the 5HT1A receptor and are useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as pre-menstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses. Thus, a solution of (2R)-4-




RN 475682-45-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:252518 CAPLUS
DOCUMENT NUMBER: 140:287398
TITLE: Preparation of antidepressant indolealkyl derivatives of heterocycle-fused benzodioxan methylamines as serotonin reuptake inhibitors and 5-HT1A receptor antagonists
INVENTOR(S): Stack, Gary Paul; Webb, Michael Byron; Evrard, Deborah Ann; Zhou, Dahui
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004024734 | A1 | 20040325 | WO 2003-US28524 | 20030911 |

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GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-410347P P 20020912

OTHER SOURCE(S): MARPAT 140:287398

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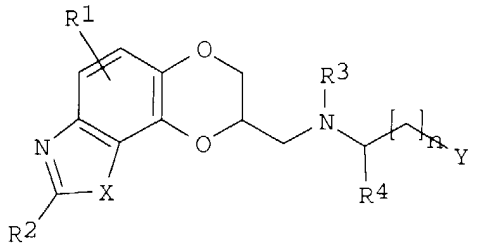

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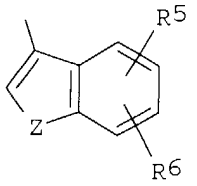

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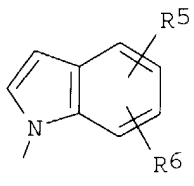
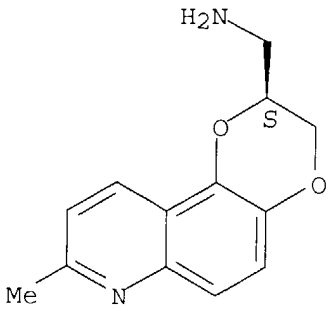


III

AB The title compds. [I; Y = II, III; X = O, N:CH, CR7:CH, CR7:N (wherein R7 = H, alkyl); Z = O, S, NR8 (R8 = H, alkyl); R1, R5, R6 = H, OH, halo, CN, etc.; R2 = H, halo, NH2, mono- or dialkylamino, alkyl; R3, R4 = H, alkyl; n = 1-3], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared Thus, reacting (2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl 4-bromobenzenesulfonate with 2-(5-methoxy-1H-indol-3-yl)ethylamine in DMSO afforded (2S)-N-[2-(5-methoxy-1H-indol-3-yl)ethyl]-N-(8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl)amine. The exemplified compds. I were tested for 5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors and biol. data were given. The pharmaceutical composition comprising the compound I is claimed.

IT **676121-84-9P 676121-98-5P 676122-04-6P**
**676122-06-8P 676122-08-0P 676122-20-6P**
**676122-32-0P 676122-36-4P 676122-38-6P**
**676122-46-6P 676122-49-9P 676122-53-5P**
**676122-55-7P 676122-57-9P 676122-65-9P**

Absolute stereochemistry.



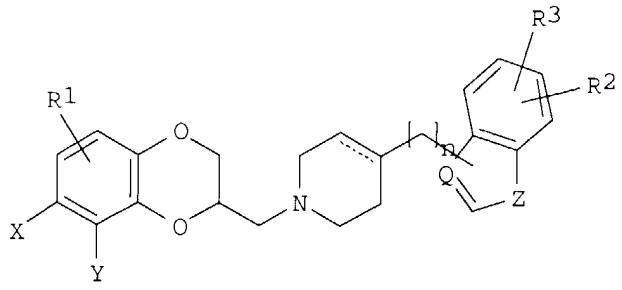

●2 HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:252517 CAPLUS
DOCUMENT NUMBER: 140:287397
TITLE: Preparation of piperidine derivatives of heterocycle-fused benzodioxans as serotonin reuptake inhibitors and 5-HT1A receptors antagonists for treating depression
INVENTOR(S): Webb, Michael Byron; Stack, Gary Paul; Asselin, Magda; Evrard, Deborah Ann
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004024733 | A1 | 20040325 | WO 2003-US28523 | 20030911 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2004147523 | A1 | 20040729 | US 2003-659160 | ~~20030910~~ |
| | | | US 2002-410033P | P 20020912 |

PRIORITY APPLN. INFO.:
OTHER SOURCE(S): MARPAT 140:287397
GI



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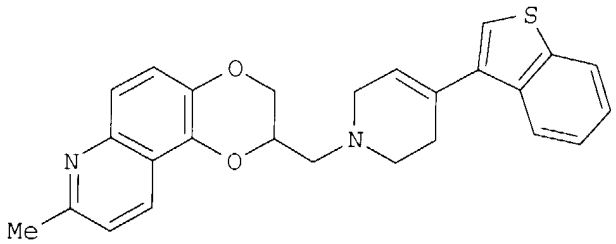
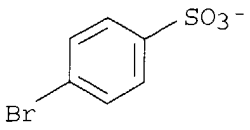


II

AB The title compds. (shown as I; variables defined below; e.g. II), useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared For I: R1, R2 and R3 = H, OH, halo, CN, carboxamido, carboalkoxy, CF3, alkyl, alkoxy, alkanoyl, alkanoyloxy, NH2, mono- or dialkylamino, alkanamido, alkanesulfonyl or alkanesulfonamido; X, Y = H, OH, halo, CN, carboxamido, carboalkoxy, CF3, alkyl, alkoxy, alkanoyl, alkanoyloxy, amino, mono- or dialkylamino, alkanamido, alkanesulfonyl or alkanesulfonamido, or X and Y, taken together, form -N:C(R4)-C(R5):N-, -N:C(R4)-C(R6):CH-, -N:C(R4)-N:CH-, -N:C(R4)-O-, -NH-C(R7):N- or -NH-C(R8):CH-; R4 and R5 = H, halo, amino, mono- or dialkylamino; R6 = H, alkyl; R7 = H, halo, CF3, pentafluoroethyl, amino, mono- or dialkylamino; R8 = H, halo, CF3, pentafluoroethyl, alkyl; the dotted line = an optional double bond; Z = O, S; Q = C, N; n = 0-1; addnl. details are given in the claims. Although the methods of preparation are not claimed, 14 example prepns. are included. For example, II was prepared by reacting [(2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl]methyl 4-toluenesulfonate with 4-(benzo[b]thiophen-3-yl)-1,2,3,6-tetrahydropyridine in DMSO. The compds. I were tested for serotonin transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors, and biol. data were given for all exemplified compds. The pharmaceutical composition comprising the compound I is claimed.

IT **675876-92-3P 675876-93-4P 675876-94-5P**
**675876-95-6P 675876-97-8P 675877-02-8P**
**675877-03-9P 675877-04-0P 675877-05-1P**
**675877-06-2P 675877-16-4P 675877-17-5P**
**675877-18-6P 675877-19-7P**, (S)-2-[4-(Benzofuran-2-yl)piperidin-1-ylmethyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline
**675877-21-1P 675877-22-2P 675877-23-3P**,
(S)-2-[4-(Benzoxazol-2-yl)piperidin-1-ylmethyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline

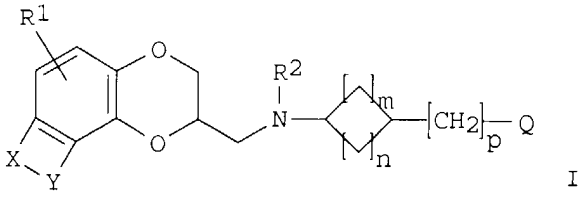



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:252516 CAPLUS
DOCUMENT NUMBER: 140:287396
TITLE: Preparation of antidepressant cycloalkylamine derivatives of heterocycle-fused benzodioxans
INVENTOR(S): Stack, Gary Paul; Evrard, Deborah Ann; Shah, Uresh Shantilal
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004024732 | A1 | 20040325 | WO 2003-US28459 | 20030911 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2004171667 | A1 | 20040902 | US 2003-659174 | ~~20030910~~ |
| PRIORITY APPLN. INFO.: | | | US 2002-410072P | P 20020912 |
| | | | US 2003-659174 | A ~~20030910~~ |

OTHER SOURCE(S): MARPAT 140:287396
GI



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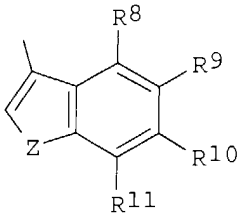

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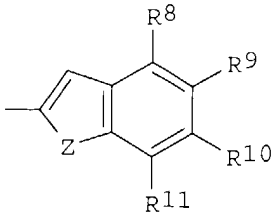
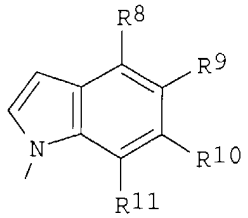


III



IV

AB The title compds. [I; R1 = H, halo, CN, carboxamido, etc.; R2 = H, alkyl; XY = N:CR3CR4:N, NCR3CR5:CH, N:CR3N:CH, N:CR3O, NHCR6:N, NHCR7:CH; R3, R4 = H, halo, NH2, mono- or dialkylamino, alkyl; R5 = H, alkyl; R6 = H, halo, CF3, pentafluoroethyl, NH2, etc.; R7 = H, halo, CF3, pentafluoroethyl, alkyl; Q = II-IV (wherein Z = NR12, S, O; R8-R11 = H, OH, halo, CN, etc.; R12 = H, alkyl); m = 1-3; n = 1-2; p = 0-3] and their pharmaceutically acceptable salts, useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared Thus, reacting toluene-4-sulfonic acid [(2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl]methyl ester with cis-3-(1H-indol-3-yl)cyclopentylamine in DMSO afforded 18% N-[(cis)-3-(1H-indol-3-yl)cyclopentyl]-N-{[(2S)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl]methyl}amine. The exemplified compds. I were tested for 5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors and biol. data were given. The pharmaceutical composition comprising the compound I is claimed.

IT **675879-31-9P 675879-32-0P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of antidepressant cycloalkylamine derivs. of heterocycle-fused benzodioxans)

RN 675879-31-9 CAPLUS

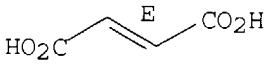
CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, N-[(1R,3S)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CM 2

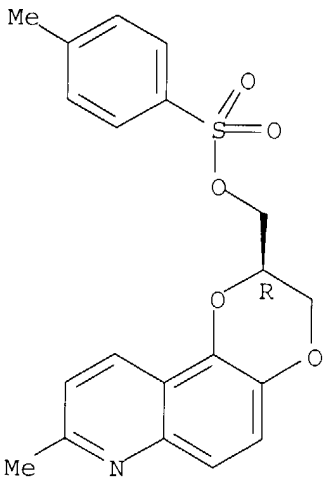
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



IT **460354-01-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antidepressant cycloalkylamine derivs. of heterocycle-fused benzodioxans)
RN 460354-01-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-8-methyl-, 4-methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



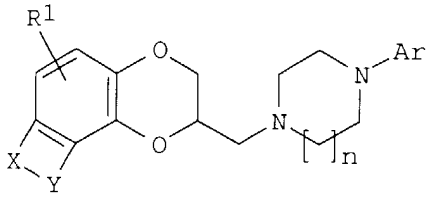
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:252515 CAPLUS
DOCUMENT NUMBER: 140:287410
TITLE: Preparation of antidepressant arylpiperazine derivatives of heterocycle-fused benzodioxans
INVENTOR(S): Evrard, Deborah A.; Zhou, Dahui; Stack, Gary Paul; Venkatesan, Arenapakam Madumbai; Failli, Amedeo A.; Croce, Susan Christman
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004024731 | A1 | 20040325 | WO 2003-US28453 | 20030911 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2004142926 | A1 | 20040722 | US 2003-659537 | 20030910 |
| PRIORITY APPLN. INFO.: | | | US 2002-410082P | P 20020912 |
| | | | US 2003-659537 | A 20030910 |

OTHER SOURCE(S): MARPAT 140:287410

GI

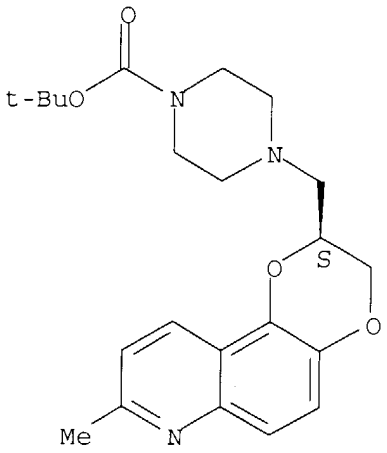


I

AB The title compds. [R1 = H, halo, CN, carboxamido, etc.; XY = N:CR2CR3:N, N:CR2CR4:CH, N:CR2N:CH, N:CR2O, NHCR5:CH; R2, R3 = H, halo, NH2, mono-or dialkylamino, alkyl; R4 = H, alkyl; R5 = H, halo, CF3, pentafluoroethyl, alkyl; Ar = (un)substituted Ph, naphthyl, indoleyl, indazolyl, thienyl, etc.; n = 1-2], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared Thus, reacting [(2S)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl]methyl 4-bromobenzenesulfonate with 3-chlorophenylpiperazine.HCl in the presence of EtN(iso-Pr)2 in DMSO afforded 68% (2S)-2-{[4-(3-chlorophenyl)piperazin-1-yl]methyl}-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinoline. The exemplified compds. I were tested for 5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors and biol. data were given. The pharmaceutical composition comprising the compound I is claimed.

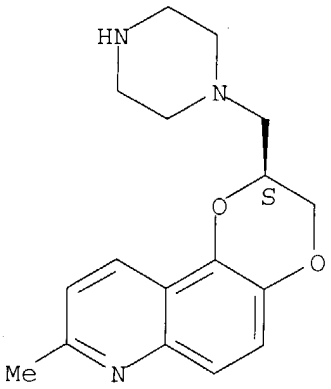
IT **676130-75-9P 676130-76-0P 676130-77-1P**
**676130-78-2P 676130-79-3P 676130-80-6P**
**676130-81-7P 676130-82-8P 676130-83-9P**
**676130-84-0P 676130-85-1P 676130-86-2P**
**676130-87-3P 676130-88-4P 676130-89-5P**
**676130-90-8P 676130-91-9P 676130-92-0P**
**676130-93-1P 676130-94-2P 676130-95-3P**
**676130-96-4P 676130-97-5P 676130-98-6P**
**676130-99-7P 676131-00-3P 676131-01-4P**

Absolute stereochemistry.




RN 676131-25-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-(1-piperazinylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:252514 CAPLUS
DOCUMENT NUMBER: 140:287395
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivs. of heterocycle-fused benzodioxans
INVENTOR(S): Zhou, Dahui; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004024730 | A1 | 20040325 | WO 2003-US28413 | 20030911 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

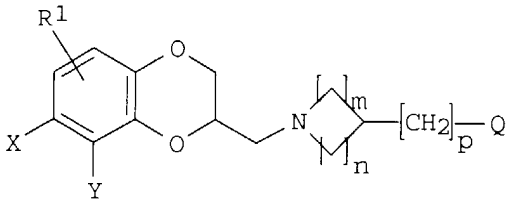
US 2004132714 A1 20040708 US 2003-659167 ~~20030910~~

PRIORITY APPLN. INFO.: US 2002-410168P P 20020912

US 2003-659167 A ~~20030910~~

OTHER SOURCE(S): MARPAT 140:287395

GI



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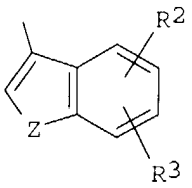

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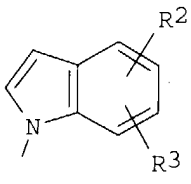
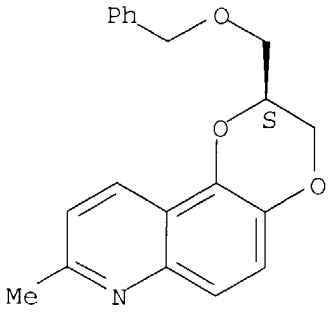


III

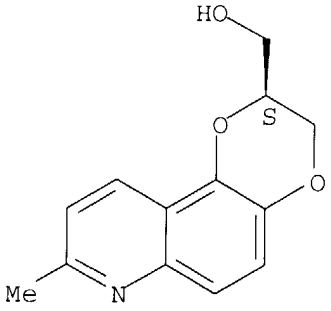
AB The title compds. [I; Q = II, III; R1-R3 = H, OH, halo, CN, carboxamido, etc.; X, Y = H, OH, halo, CN, etc.; or X and Y, taken together, form N:CR4CR5:N, N:CR4CR5:CH, N:CR4N:CH, N:CR4O, NHCR7:N, NHCR8:CH; R4, R5 = H, halo, NH2, mono- or dialkylamino, alkyl; R6 = H, alkyl; R7 = H, halo, CF3, etc.; R8 = H, halo, CF3, etc.; Z = O, S, NR9; R9 = H, alkyl; n = 0-2; m = 1-4 (with provisos); p = 1-3 (p+n = 2-3)], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared Thus, reacting 4-bromobenzenesulfonic acid (2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl ester with 3-azetidin-3-ylmethyl-5-fluoro-1H-indole in the presence of Et3N in DMSO afforded (2S)-2-[3-(5-fluoro-1H-indol-3-ylmethyl)azetidin-1-ylmethyl]-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinoline. The exemplified compds. I were tested for 5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors and biol. data were given. The pharmaceutical composition comprising the compound I is claimed.

IT **676125-36-3P 676125-37-4P 676125-38-5P**



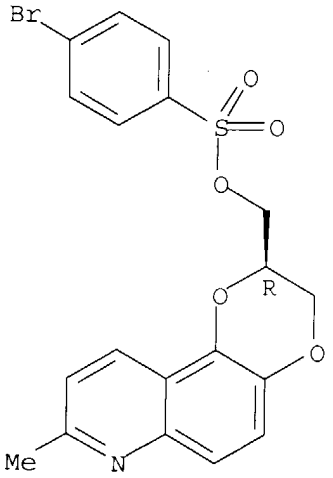
RN 475682-45-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 475682-46-3 CAPLUS
CN Benzenesulfonic acid, 4-bromo-, [(2R)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

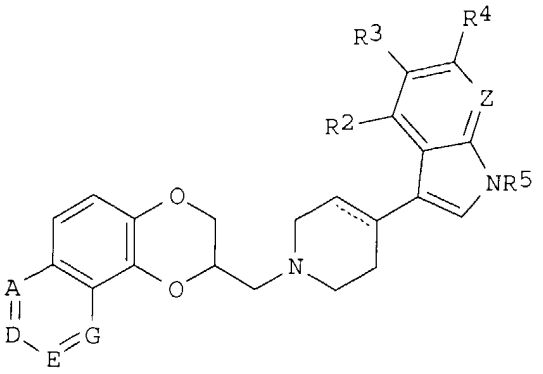
ACCESSION NUMBER: 2002:888742 CAPLUS
DOCUMENT NUMBER: 137:384846
TITLE: Process for preparation of indolylpyridinylmethyldioxinoquinolines and related compounds
INVENTOR(S): Chan, Anita Wai-Yin; Curran, Timothy Thomas; Iera, Silvio; Chew, Warren; Sellstedt, John Hamilton; Vid, Galina; Feigelson, Gregg; Ding, Zhixian
PATENT ASSIGNEE(S): Wyeth, John and Brother Ltd., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002092602 | A2 | 20021121 | WO 2002-US15097 | 20020514 |
| WO 2002092602 | A3 | 20030227 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2002187983 | A1 | 20021212 | US 2002-145369 | 20020514 |
| US 6693197 | B2 | 20040217 | | |
| EP 1387845 | A2 | 20040211 | EP 2002-736790 | 20020514 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2002009901 | A | 20040713 | BR 2002-9901 | 20020514 |
| JP 2004530693 | T2 | 20041007 | JP 2002-589486 | 20020514 |
| US 2004186123 | A1 | 20040923 | US 2003-734867 | 20031212 |

PRIORITY APPLN. INFO.:

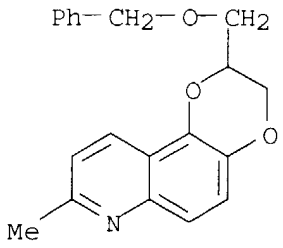
| | | |
|---|---|---|
| US 2001-291547P | P | 20010517 |
| US 2002-145369 | A3 | 20020514 |
| WO 2002-US15097 | W | 20020514 |

OTHER SOURCE(S): CASREACT 137:384846; MARPAT 137:384846
GI

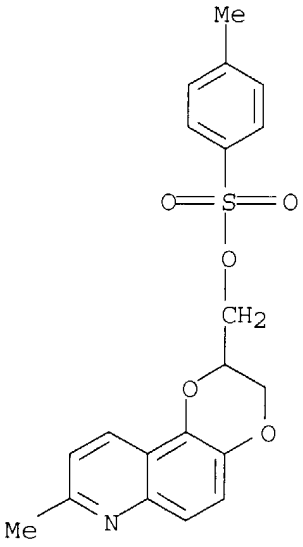



I

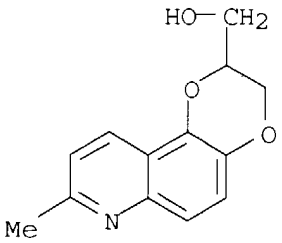
AB Title compds. [I; R1 = H, OH, halo, cyano, carboxamido, carboalkoxy, alkyl, alkanoyloxy, amino, mono- or dialkylamino, alkanamido,



RN 475682-51-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-8-methyl-, 4-methylbenzenesulfonate (ester) (9CI) (CA INDEX NAME)



RN 475682-52-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



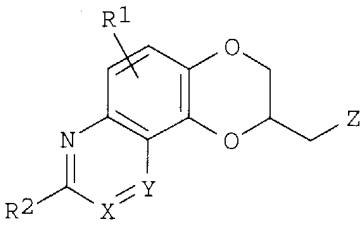
L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:849635 CAPLUS
DOCUMENT NUMBER: 137:353035
TITLE: Preparation of azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino[2,3-f]quinoline as 5-HT1A antagonists
INVENTOR(S): Stack, Gary Paul; Tran, Megan; Gross, Jonathan Laird; Husbands, George Edward Morris

10/734,867

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002088132 | A1 | 20021107 | WO 2002-US13029 | 20020425 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2002193366 | A1 | 20021219 | US 2002-131997 | 20020425 |
| PRIORITY APPLN. INFO.: | | | US 2001-286567P P | 20010426 |

OTHER SOURCE(S): MARPAT 137:353035
GI

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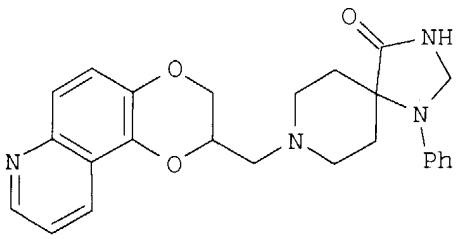



II

AB The title compds. [I; R1 = H, halo, CN, etc.; R2 = H, OH, halo, etc.; X = N, CR3; Y = N, CH; R3 = H, alkyl; Z = (un)substituted pyrrolidino, piperidino, morpholino, etc.], useful for the treatment of disorders, such as anxiety, aggression and stress, and for the control of various physiol. phenomena, such as appetite, thermoregulation, sleep and sexual behavior, were prepared E.g., a 9-step synthesis of (S)-II, starting from 5-nitroguaiacol and allyl bromide, which showed IC50 of 1.44 nM when tested for 5-HT1A receptor affinity, was given.

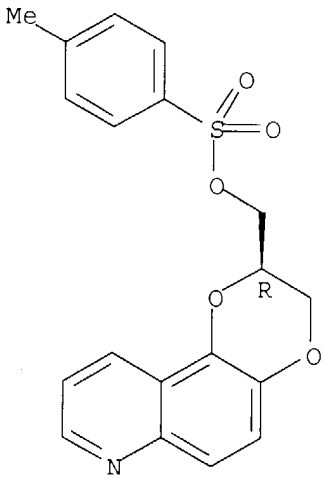
IT **474543-22-1P 474543-23-2P 474543-24-3P**
**474543-25-4P 474543-26-5P 474543-27-6P**
**474543-28-7P 474543-29-8P 474543-30-1P**
**474543-31-2P 474543-32-3P 474543-33-4P**

(preparation of azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-dioxino[2,3-
f]quinoline as 5-HT1A antagonists)

RN 460353-98-4 CAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-, 4-methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

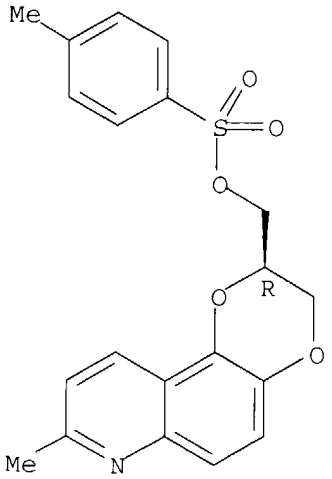
Absolute stereochemistry.




RN 460354-01-2 CAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-8-methyl-, 4-methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

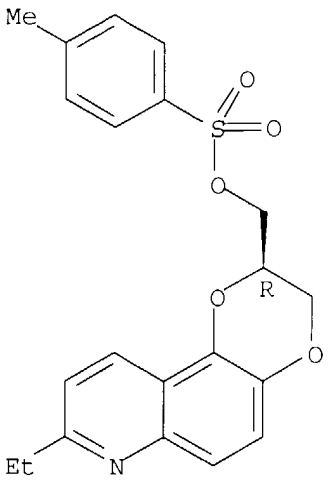
Absolute stereochemistry.




RN 460354-03-4 CAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 8-ethyl-2,3-dihydro-, 4-methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

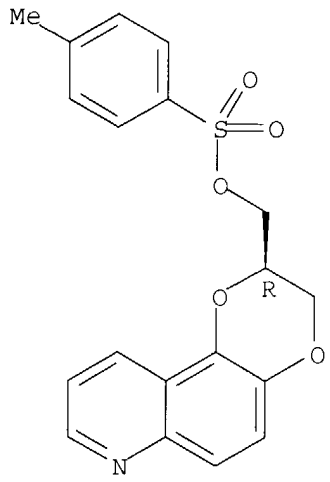
Absolute stereochemistry.




L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:849633 CAPLUS
DOCUMENT NUMBER: 137:353033
TITLE: Preparation of azabicyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino-[2,3-f]quinoline as 5-HT1A antagonists
INVENTOR(S): Stack, Gary Paul; Gilbert, Adam Matthew; Tran, Megan
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

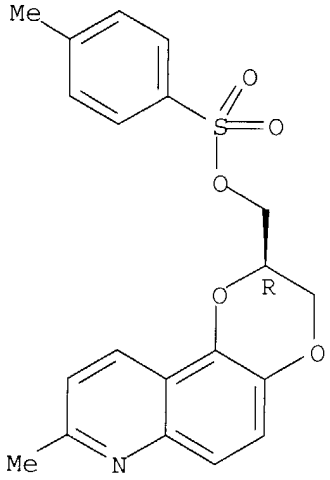
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002088130 | A1 | 20021107 | WO 2002-US12953 | 20020425 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2002183322 | A1 | 20021205 | US 2002-131355 | 20020424 |

PRIORITY APPLN. INFO.: US 2001-286576P P 20010426
OTHER SOURCE(S): MARPAT 137:353033
GI



RN 460354-01-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-8-methyl-, 4-methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



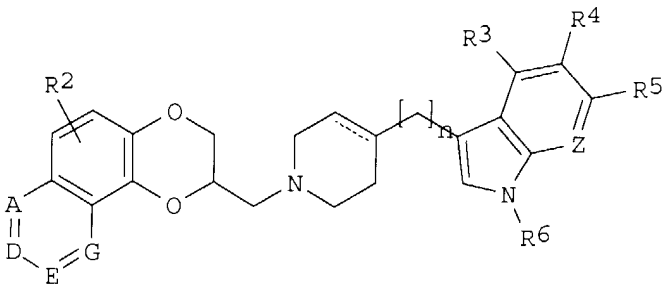
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:716282 CAPLUS
DOCUMENT NUMBER: 137:247706
TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino[2,3-f]quinoline
INVENTOR(S): Tran, Megan; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002072587 | A1 | 20020919 | WO 2002-US7192 | 20020312 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6458802 | B1 | 20021001 | US 2002-95505 | 20020312 |
| US 2002165245 | A1 | 20021107 | | |
| EP 1392697 | A1 | 20040303 | EP 2002-721325 | 20020312 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| US 2003045542 | A1 | 20030306 | US 2002-228744 | 20020827 |
| US 6599915 | B2 | 20030729 | | |
| PRIORITY APPLN. INFO.: | | | US 2001-275564P | P 20010314 |
| | | | US 2002-95505 | A1 20020312 |
| | | | WO 2002-US7192 | W 20020312 |

OTHER SOURCE(S): MARPAT 137:247706

GI

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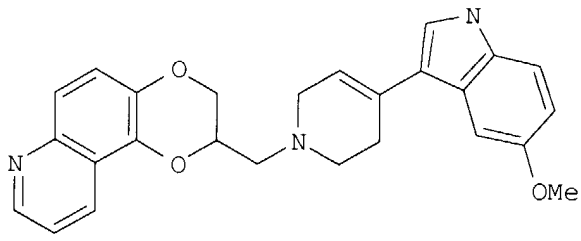


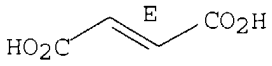

II

AB The title compds. [I; R1 = H, OH, halo, CN, etc.; R2-R5, R7 = H, OH, halo, etc.; R6 = H, alkyl; A, D = CR1, N (provided that at least one of A and D = N); E, G = CR1; Z = N, CR7; n = 0-2], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alc. addition, sexual dysfunction and related illnesses, were prepared Thus, reacting (2R)-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl-4-methylbenzenesulfonate (multi-step preparation given) with 5-methoxy-3-(1,2,3,6-

CM 2

CRN 110-17-8
CMF C4 H4 O4

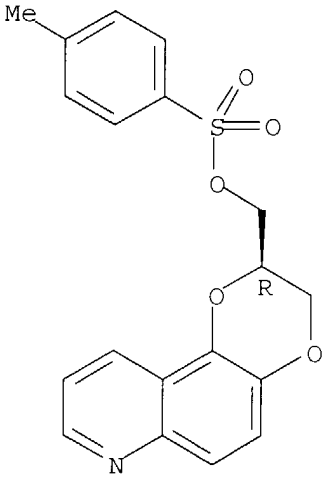
Double bond geometry as shown.



IT **460353-98-4P 460354-01-2P 460354-03-4P**
**460354-04-5P 460354-07-8P 460354-09-0P**
**460354-10-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-dioxino[2,3-f]quinoline)

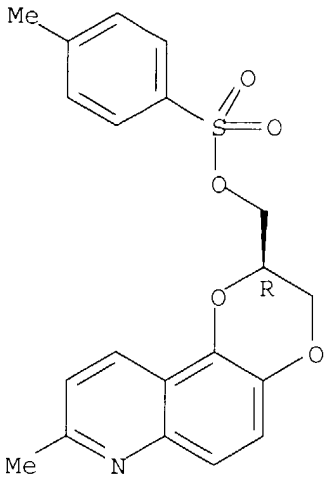
RN 460353-98-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-, 4-methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



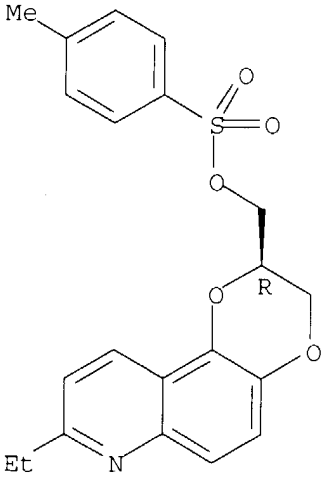
RN 460354-01-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-8-methyl-, 4-methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



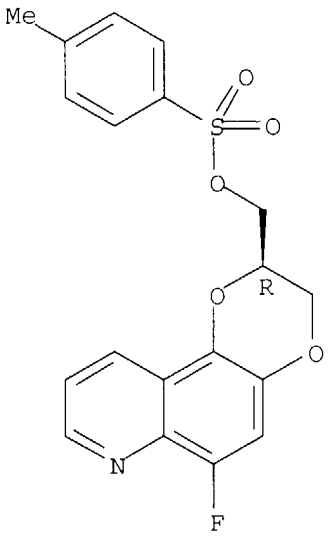

RN 460354-03-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 8-ethyl-2,3-dihydro-, 4-methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



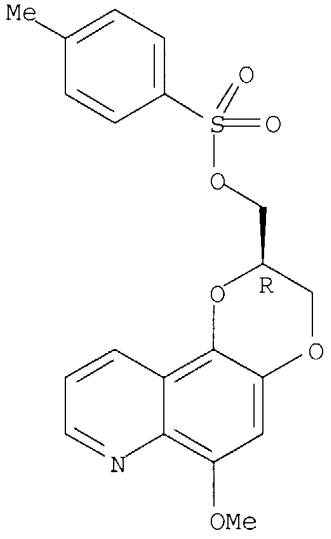

RN 460354-04-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 6-fluoro-2,3-dihydro-, 4-methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



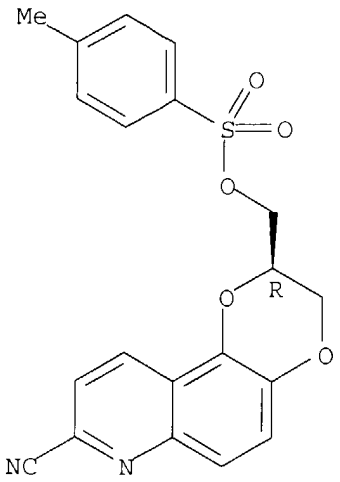
RN 460354-07-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-6-methoxy-, 4-methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



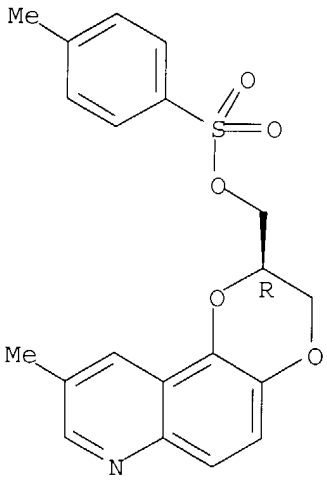
RN 460354-09-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline-8-carbonitrile, 2,3-dihydro-2-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



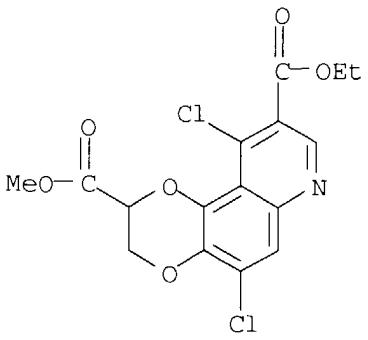
RN 460354-10-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-9-methyl-, 4-methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1982:52244 CAPLUS
DOCUMENT NUMBER: 96:52244
TITLE: Potential diuretic-β-adrenergic blocking agents: synthesis of 3-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]-1,4-dioxino[2,3-g]quinolines
AUTHOR(S): Willard, Alvin K.; Smith, Robert L.; Cragoe, Edward J., Jr.
CORPORATE SOURCE: Merck Sharp and Dohme Res. Lab., West Point, PA, 19486, USA
SOURCE: Journal of Organic Chemistry (1981), 46(19), 3846-52
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English



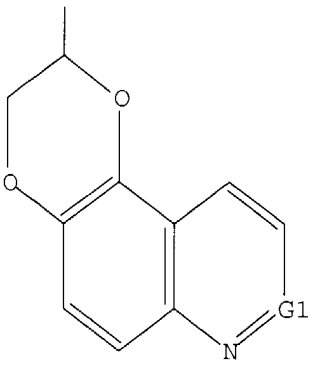
=> d his

(FILE 'HOME' ENTERED AT 15:49:52 ON 08 OCT 2004)

FILE 'REGISTRY' ENTERED AT 15:50:05 ON 08 OCT 2004
L1 STRUCTURE UPLOADED
L2 19 S L1
L3 377 S L1 FULL

FILE 'CAPLUS' ENTERED AT 15:50:45 ON 08 OCT 2004
L4 13 S L3

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=>